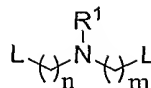


1 We claim:

2 1. A compound represented by A:



4 A

5 wherein, independently for each occurrence,

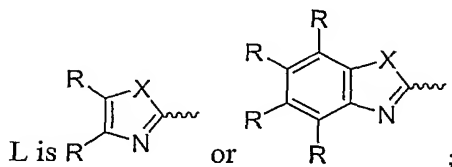
6 R¹ is H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl,
7 aryl, heteroaryl, aralkyl, heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl,
8 (amino)alkoxycarbonyl, (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,
9 (hydroxy)alkylaminocarbonyl, -CO₂H, -(CH₂)_d-R₈₀, or an amino acid radical;

10 R₈₀ is carboxaldehyde, carboxylate, carboxamido, alkoxy carbonyl, aryloxy carbonyl,
11 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,
12 amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or a
13 ligand for a G-protein-coupled receptor;

14 d is an integer in the range 0 to 12 inclusive;

15 m is an integer in the range 0 to 6 inclusive;

16 n is an integer in the range 0 to 6 inclusive;



18 X is -N(R²)-, -O-, or -S-;

19 R is selected from the group consisting of hydrogen, halogen, alkyl, alkenyl, alkynyl,
20 hydroxyl, alkoxy, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl,
21 alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl,
22 carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl,
23 ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine
24 oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide,

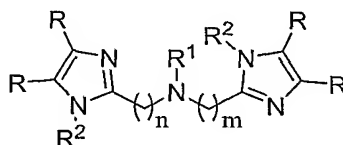
1 hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea,
2 thiourea, and $-(CH_2)_d-R_{80}$; and

3 R^2 is hydrogen or a lipophilic group.

4 2. The compound of claim 1, wherein said compound is complexed with a
5 radionuclide.

6 3. The compound of claim 1, wherein said compound is complexed with a
7 radionuclide, wherein said radionuclide is technetium or rhenium.

8 4. A compound represented by **B**:



10 **B**

11 wherein, independently for each occurrence,

12 R^1 is H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl,
13 aryl, heteroaryl, aralkyl, heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl,
14 (amino)alkoxycarbonyl, (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,
15 (hydroxy)alkylaminocarbonyl, $-CO_2H$, $-(CH_2)_d-R_{80}$, or an amino acid radical;

16 R_{80} is carboxaldehyde, carboxylate, carboxamido, alkoxyalkyl, aryloxyalkyl,
17 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,
18 amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or a
19 ligand for a G-protein-coupled receptor;

20 d is an integer in the range 0 to 12 inclusive;

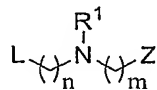
21 m is an integer in the range 0 to 6 inclusive;

22 n is an integer in the range 0 to 6 inclusive;

23 R is selected from the group consisting of hydrogen, halogen, alkyl, alkenyl, alkynyl,
24 hydroxyl, alkoxy, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl,
25 alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl,
26 carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl,
27 ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine

- 1 oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide,
2 hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea,
3 thiourea, and $-(CH_2)_d-R_{80}$; and
4 R^2 is hydrogen or a lipophilic group.
- 5 5. The compound of claim 4, wherein said compound is complexed with a
6 radionuclide.
- 7 6. The compound of claim 4, wherein said compound is complexed with a
8 radionuclide, wherein said radionuclide is technetium or rhenium.
- 9 7. The compound of claim 4, wherein m is 1.
- 10 8. The compound of claim 4, wherein n is 1.
- 11 9. The compound of claim 4, wherein m is 1; and n is 1.
- 12 10. The compound of claim 4, wherein R is hydrogen.
- 13 11. The compound of claim 4, wherein R^2 is a lipophilic group.
- 14 12. The compound of claim 4, wherein R^2 is an ether, aralkyl or alkylaryl.
- 15 13. The compound of claim 4, wherein R is hydrogen; and R^2 is an ether, aralkyl or
16 alkylaryl.
- 17 14. The compound of claim 4, wherein m is 1; n is 1; R is hydrogen; and R^2 is an ether,
18 aralkyl or alkylaryl.
- 19 15. The compound of claim 4, wherein R^1 is $-(CH_2)_d-R_{80}$.
- 20 16. The compound of claim 4, wherein m is 1; n is 1; R is hydrogen; R^2 is an ether,
21 aralkyl or alkylaryl; and R^1 is $-(CH_2)_d-R_{80}$.
- 22 17. The compound of claim 4, wherein m is 1; n is 1; R is hydrogen; R^2 is an ether,
23 aralkyl or alkylaryl; and R^1 is $-(CH_2)_d-R_{80}$; wherein said compound is complexed
24 with a radionuclide.
- 25 18. The compound of claim 4, wherein m is 1; n is 1; R is hydrogen; R^2 is an ether,
26 aralkyl or alkylaryl; and R^1 is $-(CH_2)_d-R_{80}$; wherein said compound is complexed
27 with a radionuclide, wherein said radionuclide is technetium or rhenium.
- 28 19. The compound of claim 4, wherein R^1 is an amino acid radical.

- 1 20. The compound of claim 4, wherein R^1 is an amino acid radical; m is 1; and n is 1.
- 2 21. The compound of claim 4, wherein R^1 is an amino acid radical; m is 1; n is 1; and
3 R^2 is an ether, aralkyl or alkylaryl.
- 4 22. The compound of claim 4, wherein R^1 is an amino acid radical; m is 1; n is 1; R is
5 hydrogen; and R^2 is an ether, aralkyl or alkylaryl; wherein said compound is
6 complexed with a radionuclide.
- 7 23. The compound of claim 4, wherein R^1 is an amino acid radical; m is 1; n is 1; R is
8 hydrogen; and R^2 is an ether, aralkyl or alkylaryl; wherein said compound is
9 complexed with a radionuclide, wherein said radionuclide is technetium or rhenium.
- 10 24. The compound of claim 4, wherein the amino acid radical is
11 $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}(\text{NH}_2)\text{CO}_2\text{H}$.
- 12 25. The compound of claim 4, wherein the amino acid radical is
13 $-\text{CH}(\text{CO}_2\text{H})\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{NH}_2$.
- 14 26. The compound of claim 4, wherein the amino acid radical is $-\text{CH}_2\text{CH}_2\text{CO}_2\text{H}$.
- 15 27. The compound of claim 4, wherein the amino acid radical is
16 $-\text{CH}(\text{CO}_2\text{H})(\text{CH}_2)_x\text{CH}(\text{NH}_2)\text{CO}_2\text{H}$, wherein x is an integer from 3 to 9 inclusively.
- 17 28. A compound represented by C:



C

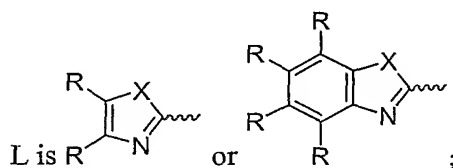
- 20 wherein, independently for each occurrence,
- 21 Z is thioalkyl, carboxylate, 2-(carboxy)aryl, 2-(carboxy)heteroaryl, 2-(hydroxy)aryl,
22 2-(hydroxy)heteroaryl, 2-(thiol)aryl, or 2-(thiol)heteroaryl; and
- 23 R^1 is H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl,
24 aryl, heteroaryl, aralkyl, heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl,
25 (amino)alkoxycarbonyl, (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,
26 (hydroxy)alkylaminocarbonyl, $-\text{CO}_2\text{H}$, $-(\text{CH}_2)_d\text{-R}_{80}$, or an amino acid radical;
- 27 R_{80} is carboxaldehyde, carboxylate, carboxamido, alkoxy carbonyl, aryloxy carbonyl,
28 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,

amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or a ligand for a G-protein-coupled receptor;

d is an integer in the range 0 to 12 inclusive;

m is an integer in the range 0 to 6 inclusive;

n is an integer in the range 0 to 6 inclusive;



X is -N(R²)-, -O-, or -S-;

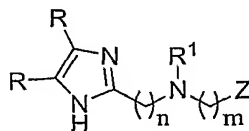
R is selected from the group consisting of hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, and -(CH₂)_d-R₈₀; and

R² is hydrogen or a lipophilic group.

29. The compound of claim 28, wherein said compound is complexed with a radionuclide.

30. The compound of claim 28, wherein said compound is complexed with a radionuclide, wherein said radionuclide is technetium or rhenium.

31. A compound represented by **D**:



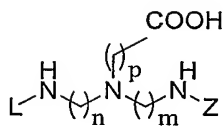
D

wherein, independently for each occurrence,

- 1 Z is thioalkyl, carboxylate, 2-(carboxy)aryl, 2-(carboxy)heteroaryl, 2-(hydroxy)aryl,
2 2-(hydroxy)heteroaryl, 2-(thiol)aryl, or 2-(thiol)heteroaryl; and
- 3 R^1 is H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl,
4 aryl, heteroaryl, aralkyl, heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl,
5 (amino)alkoxycarbonyl, (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,
6 (hydroxy)alkylaminocarbonyl, $-CO_2H$, $-(CH_2)_d-R_{80}$, or an amino acid radical;
- 7 R_{80} is carboxaldehyde, carboxylate, carboxamido, alkoxycarbonyl, aryloxycarbonyl,
8 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,
9 amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or a
10 ligand for a G-protein-coupled receptor;
- 11 d is an integer in the range 0 to 12 inclusive;
- 12 m is an integer in the range 0 to 6 inclusive;
- 13 n is an integer in the range 0 to 6 inclusive; and
- 14 R is selected from the group consisting of hydrogen, halogen, alkyl, alkenyl, alkynyl,
15 hydroxyl, alkoxy, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl,
16 alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl,
17 carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl,
18 ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine
19 oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide,
20 hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea,
21 thiourea, and $-(CH_2)_d-R_{80}$.
- 22 32. The compound of claim 31, wherein said compound is complexed with a
23 radionuclide.
- 24 33. The compound of claim 31, wherein said compound is complexed with a
25 radionuclide, wherein said radionuclide is technetium or rhenium.
- 26 34. The compound of claim 31, wherein Z is carboxylate.
- 27 35. The compound of claim 31, wherein m is 1.
- 28 36. The compound of claim 31, wherein n is 1.
- 29 37. The compound of claim 31, wherein m is 1; and n is 1.

- 1 38. The compound of claim 31, wherein Z is carboxylate; m is 1; and n is 1.
- 2 39. The compound of claim 31, wherein R is hydrogen.
- 3 40. The compound of claim 31, wherein Z is carboxylate; m is 1; n is 1; and R is
4 hydrogen.
- 5 41. The compound of claim 31, wherein R^1 is $-(CH_2)_d-R_{80}$.
- 6 42. The compound of claim 31, wherein Z is carboxylate; m is 1; n is 1; R is hydrogen;
7 and R^1 is $-(CH_2)_d-R_{80}$.
- 8 43. The compound of claim 31, wherein Z is carboxylate; m is 1; n is 1; R is hydrogen;
9 and R^1 is $-(CH_2)_d-R_{80}$; wherein said compound is complexed with a radionuclide.
- 10 44. The compound of claim 31, wherein Z is carboxylate; m is 1; n is 1; R is hydrogen;
11 and R^1 is $-(CH_2)_d-R_{80}$; wherein said compound is complexed with a radionuclide,
12 wherein said radionuclide is technetium or rhenium.
- 13 45. The compound of claim 31, wherein R^1 is an amino acid radical.
- 14 46. The compound of claim 31, wherein R^1 is an amino acid radical; m is 1; and n is 1.
- 15 47. The compound of claim 31, wherein R^1 is an amino acid radical; m is 1; n is 1; and
16 R is hydrogen.
- 17 48. The compound of claim 31, wherein R^1 is an amino acid radical; m is 1; n is 1; and
18 R is hydrogen; wherein said compound is complexed with a radionuclide.
- 19 49. The compound of claim 31, wherein R^1 is an amino acid radical; m is 1; n is 1; and
20 R is hydrogen; wherein said compound is complexed with a radionuclide, wherein
21 said radionuclide is technetium or rhenium.
- 22 50. The compound of claim 31, wherein the amino acid radical is
23 $-CH_2CH_2CH_2CH_2CH(NH_2)CO_2H$.
- 24 51. The compound of claim 31, wherein the amino acid radical is
25 $-CH(CO_2H)CH_2CH_2CH_2CH_2NH_2$.
- 26 52. The compound of claim 31, wherein the amino acid radical is $-CH_2CH_2CO_2H$.
- 27 53. The compound of claim 31, wherein the amino acid radical is
28 $-CH(CO_2H)(CH_2)_xCH(NH_2)CO_2H$, wherein x is an integer from 3 to 9 inclusively.

1 54. A compound represented by E:



3 E

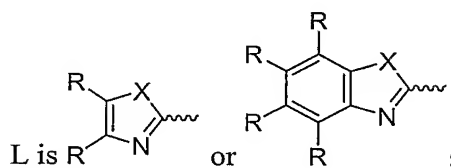
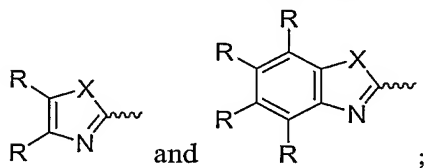
4 wherein, independently for each occurrence,

5 m is an integer in the range 0 to 6 inclusive;

6 n is an integer in the range 0 to 6 inclusive;

7 p is an integer in the range of 1 to 10 inclusive;

8 Z is selected from the group consisting of $-\text{CH}_2\text{COOH}$, alkyl, aryl, aralkyl,



11 each instance of X is $-\text{N}(\text{R}^2)-$, $-\text{O}-$, or $-\text{S}-$;

12 R^2 is hydrogen or a lipophilic group;

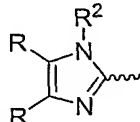
13 R is selected from the group consisting of halogen, alkyl, alkenyl, alkynyl, hydroxyl,
14 alkoxy, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl, alkylthio,
15 imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl,
16 carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl,
17 ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine
18 oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide,
19 hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea,
20 thiourea, and $-(\text{CH}_2)_d-\text{R}_{80}$;

21 R_{80} is carboxaldehyde, carboxylate, carboxamido, alkoxycarbonyl, aryloxy carbonyl,
22 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,

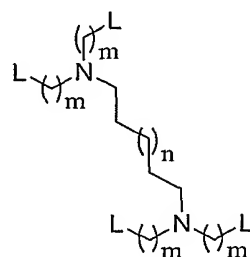
1 amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or a
 2 ligand for a G-protein-coupled receptor; and
 3 d is an integer in the range 0 to 12 inclusive.

4 55. The compound of claim 54, wherein said compound is complexed with a
 5 radionuclide.

6 56. The compound of claim 54, wherein said compound is complexed with a
 7 radionuclide, wherein said radionuclide is technetium or rhenium.

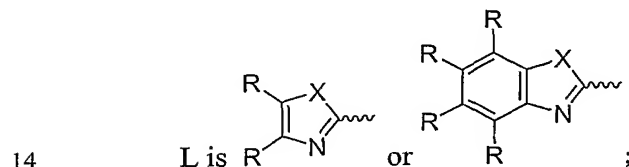
8 57. The compound of claim 54, wherein L is ; R is hydrogen; R² is hydrogen;
 9 and Z is alkyl.

10 58. A compound of formula **F**:



F

13 wherein, independently for each occurrence,



15 X is -N(R²)-, -O-, or -S-;

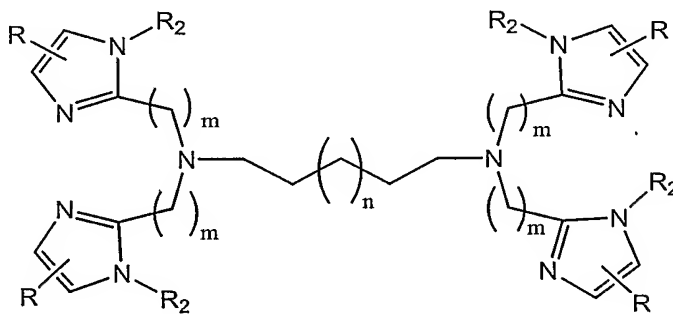
16 R is halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, acyl, acyloxy, acylamino,
 17 silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, amido, phosphoryl, phosphonate,
 18 phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl,
 19 alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, cyano,
 20 guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, aralkyl,
 21 heteroaralkyl, azido, aziridine, carbamoyl, epoxide, hydroxamic acid, imide, oxime,

- 1 sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_d-R_{80}$;
 2 R_{80} is carboxaldehyde, carboxylate, carboxamido, alkoxy carbonyl, aryloxy carbonyl,
 3 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,
 4 amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or ligand
 5 for a G-protein-coupled receptor;
 6 R_2 is H or a lipophilic group;
 7 d is an integer in the range 0 to 12 inclusive;
 8 m is an integer in the range 0 to 6 inclusive; and
 9 n is an integer in the range 0 to 6 inclusive.

10 59. The compound of claim 58, wherein the compound is complexed with a
 11 radionuclide.

12 60. The compound of claim 58, wherein the compound is complexed with a
 13 radionuclide, wherein the radionuclide is technetium or rhenium.

14 61. A compound of formula **G**:

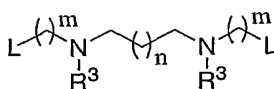


16 **G**

- 17 wherein, independently for each occurrence,
 18 R is absent or present 1 or 2 times;
 19 R is halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, acyl, acyloxy, acylamino,
 20 silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, amido, phosphoryl, phosphonate,
 21 phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl,
 22 alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, cyano,
 23 guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, aralkyl,
 24 heteroaralkyl, azido, aziridine, carbamoyl, epoxide, hydroxamic acid, imide, oxime,

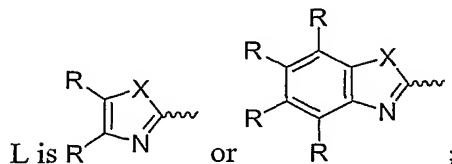
- 1 sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(\text{CH}_2)_d\text{-R}_{80}$;
2 R_{80} is carboxaldehyde, carboxylate, carboxamido, alkoxycarbonyl, aryloxy carbonyl,
3 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,
4 amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or ligand
5 for a G-protein-coupled receptor;
6 R_2 is H or a lipophilic group;
7 d is an integer in the range 0 to 12 inclusive;
8 m is an integer in the range 0 to 6 inclusive; and
9 n is an integer in the range 0 to 6 inclusive.
- 10 62. The compound of claim 61, wherein the compound is complexed with a
11 radionuclide.
- 12 63. The compound of claim 61, wherein the compound is complexed with a
13 radionuclide, wherein the radionuclide is technetium or rhenium.
- 14 64. The compound of claim 61, wherein m is 1.
- 15 65. The compound of claim 61, wherein n is 1.
- 16 66. The compound of claim 61, wherein m is 1; and n is 1.
- 17 67. The compound of claim 61, wherein R is absent.
- 18 68. The compound of claim 61, wherein R_2 is a lipophilic group.
- 19 69. The compound of claim 61, wherein R_2 is an ether, aralkyl, or alkylaryl.
- 20 70. The compound of claim 61, wherein R is absent; and R_2 is an ether, aralkyl, or
21 alkylaryl.
- 22 71. The compound of claim 61, wherein m is 1; n is 1; R is absent; and R_2 is an ether,
23 aralkyl, or alkylaryl.
- 24 72. The compound of claim 61, wherein m is 1; n is 1; R is absent; and R_2 is an ether,
25 aralkyl, or alkylaryl; wherein the compound is complexed with a radionuclide.
- 26 73. The compound of claim 61, wherein m is 1; n is 1; R is absent; and R_2 is an ether,
27 aralkyl, or alkylaryl; wherein the compound is complexed with a radionuclide,
28 wherein said radionuclide is technetium or rhenium.

1 74. A compound of formula **H**:



3 **H**

4 wherein, independently for each occurrence,



6 X is -N(R²)-, -O-, or -S-;

7 R is halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, acyl, acyloxy, acylamino,
8 silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, amido, phosphoryl, phosphonate,
9 phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl,
10 alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, cyano,
11 guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, aralkyl,
12 heteroaralkyl, azido, aziridine, carbamoyl, epoxide, hydroxamic acid, imide, oxime,
13 sulfonamide, thioamide, thiocarbamate, urea, thiourea, or -(CH₂)_d-R₈₀;

14 R₈₀ is independently for each occurrence carboxaldehyde, carboxylate, carboxamido,
15 alkoxy carbonyl, aryloxy carbonyl, ammonium, aryl, heteroaryl, cycloalkyl,
16 cycloalkenyl, heterocyclyl, polycyclyl, amino acid, peptide, saccharide, ribonucleic
17 acid, (deoxy)ribonucleic acid, or ligand for a G-protein-coupled receptor;

18 R₂ is H or a lipophilic group;

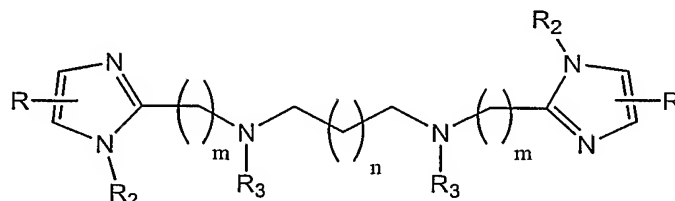
19 R₃ is a moiety comprising a neutral or anionic Lewis base, H, alkyl, hydroxyalkyl,
20 alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl,
21 heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl, (amino)alkoxycarbonyl,
22 (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,
23 (hydroxy)alkylaminocarbonyl, -CO₂H, -(CH₂)_d-R₈₀, or an amino acid radical;

24 d is an integer in the range 0 to 12 inclusive;

25 m is an integer in the range 0 to 6 inclusive; and

26 n is an integer in the range 0 to 6 inclusive.

- 1 75. The compound of claim 74, wherein the compound is complexed with a
 2 radionuclide.
- 3 76. The compound of claim 74, wherein the compound is complexed with a
 4 radionuclide, wherein the radionuclide is technetium or rhenium.
- 5 77. A compound of formula I:



I

- 8 wherein, independently for each occurrence,
- 9 R is absent or present 1 or 2 times;
- 10 R is halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, acyl, acyloxy, acylamino,
 11 silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, amido, phosphoryl, phosphonate,
 12 phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl,
 13 alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, cyano,
 14 guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, aralkyl,
 15 heteroaralkyl, azido, aziridine, carbamoyl, epoxide, hydroxamic acid, imide, oxime,
 16 sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_d-R_{80}$;
- 17 R_{80} is carboxaldehyde, carboxylate, carboxamido, alkoxycarbonyl, aryloxy carbonyl,
 18 ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl,
 19 amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or ligand
 20 for a G-protein-coupled receptor;
- 21 R_2 is H or a lipophilic group;
- 22 R_3 is a moiety comprising a neutral or anionic Lewis base, H, alkyl, hydroxyalkyl,
 23 alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl,
 24 heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl, (amino)alkoxycarbonyl,
 25 (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,
 26 (hydroxy)alkylaminocarbonyl, $-CO_2H$, $-(CH_2)_d-R_{80}$, or an amino acid radical;

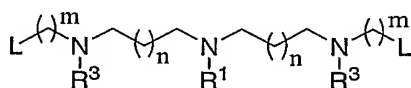
- 1 d is an integer in the range 0 to 12 inclusive;
- 2 m is an integer in the range 0 to 6 inclusive; and
- 3 n is an integer in the range 0 to 6 inclusive.
- 4 78. The compound of claim 77, wherein the compound is complexed with a
- 5 radionuclide.
- 6 79. The compound of claim 77, wherein the compound is complexed with a
- 7 radionuclide, wherein the radionuclide is technetium or rhenium.
- 8 80. The compound of claim 77, wherein m is 1.
- 9 81. The compound of claim 77, wherein n is 1.
- 10 82. The compound of claim 77, wherein m is 1; and n is 1.
- 11 83. The compound of claim 77, wherein R is absent.
- 12 84. The compound of claim 77, wherein R₂ is a lipophilic group.
- 13 85. The compound of claim 77, wherein R₂ is an ether, aralkyl, or alkylaryl.
- 14 86. The compound of claim 77, wherein R₃ is a moiety comprising an anionic Lewis
- 15 base.
- 16 87. The compound of claim 77, wherein R₃ is a carboxylate, thiolate, or phenolate.
- 17 88. The compound of claim 77, wherein R is absent; and R₂ is an ether, aralkyl, or
- 18 alkylaryl.
- 19 89. The compound of claim 77, wherein R is absent; R₂ is an ether, aralkyl, or alkylaryl;
- 20 and R₃ is a carboxylate, thiolate, or phenolate.
- 21 90. The compound of claim 77, wherein m is 1; n is 1; R is absent; and R₂ is an ether,
- 22 aralkyl, or alkylaryl.
- 23 91. The compound of claim 77, wherein m is 1; n is 1; R is absent; R₂ is an ether,
- 24 aralkyl, or alkylaryl; and R₃ is a carboxylate, thiolate, or phenolate.
- 25 92. The compound of claim 77, wherein m is 1; n is 1; R is absent; and R₂ is an ether,
- 26 aralkyl, or alkylaryl; wherein said compound is complexed with a radionuclide.
- 27 93. The compound of claim 77, wherein m is 1; n is 1; R is absent; R₂ is an ether,

1 aralkyl, or alkylaryl; and R₃ is a carboxylate, thiolate, or phenolate; wherein the
2 compound is complexed with a radionuclide.

3 94. The compound of claim 77, wherein m is 1; n is 1; R is absent; and R₂ is an ether,
4 aralkyl, or alkylaryl; wherein the compound is complexed with a radionuclide,
5 wherein the radionuclide is technetium or rhenium.

6 95. The compound of claim 77, wherein m is 1; n is 1; R is absent; R₂ is an ether,
7 aralkyl, or alkylaryl; and R₃ is a carboxylate, thiolate, or phenolate; wherein the
8 compound is complexed with a radionuclide, wherein the radionuclide is technetium
9 or rhenium.

10 96. A compound of formula J:

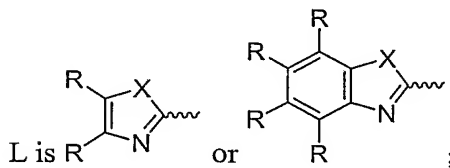


12 **J**

13 wherein, independently for each occurrence,

14 n is an integer in the range 0 to 6 inclusive;

15 m is an integer in the range 0 to 6 inclusive;



17 X is -N(R²)-, -O-, or -S-;

18 R₁ is H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl,
19 aryl, heteroaryl, aralkyl, heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl,
20 (amino)alkoxycarbonyl, (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,
21 (hydroxy)alkylaminocarbonyl, -CO₂H, -(CH₂)₄-R₈₀, or an amino acid radical;

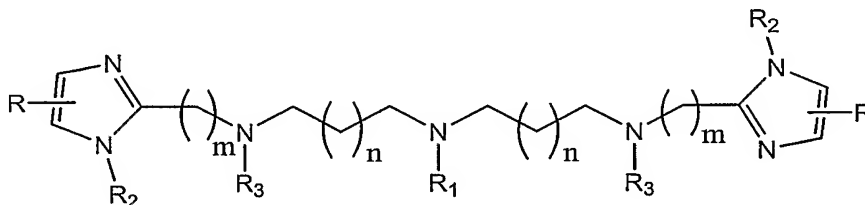
22 R₃ is a moiety comprising a neutral or anionic Lewis base, H, alkyl, hydroxyalkyl,
23 alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl,
24 heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl, (amino)alkoxycarbonyl,
25 (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,
26 (hydroxy)alkylaminocarbonyl, -CO₂H, -(CH₂)₄-R₈₀, or an amino acid radical; and

R_{80} represents independently for each occurrence carboxaldehyde, carboxylate, carboxamido, alkoxycarbonyl, aryloxycarbonyl, ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl, amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or ligand for a G-protein-coupled receptor.

97. A compound of formula 96, wherein the compound is complexed with a radionuclide.

98. The compound of claim 96, wherein the compound is complexed with a radionuclide, wherein the radionuclide is technetium or rhenium.

99. A compound of formula **K**:



K

wherein, independently for each occurrence,

R is absent or present 1 or 2 times;

R is halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxy, acyl, acyloxy, acylamino, silyloxy, amino, nitro, sulfhydryl, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, cyano, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, aralkyl, heteroaralkyl, azido, aziridine, carbamoyl, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_d-R_{80}$;

R_{80} is carboxaldehyde, carboxylate, carboxamido, alkoxycarbonyl, aryloxycarbonyl, ammonium, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, polycyclyl, amino acid, peptide, saccharide, ribonucleic acid, (deoxy)ribonucleic acid, or ligand for a G-protein-coupled receptor;

R_1 is H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl,

- 1 aryl, heteroaryl, aralkyl, heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl,
2 (amino)alkoxycarbonyl, (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,
3 (hydroxy)alkylaminocarbonyl, $-\text{CO}_2\text{H}$, $-(\text{CH}_2)_d\text{-R}_{80}$, or an amino acid radical;
4 R_2 is H or a lipophilic group;
5 R_3 is a moiety comprising a neutral or anionic Lewis base, H, alkyl, hydroxyalkyl,
6 alkoxyalkyl, aminoalkyl, thioalkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl,
7 heteroaralkyl, acyl, aminoacyl, hydroxyacyl, thioacyl, (amino)alkoxycarbonyl,
8 (hydroxy)alkoxycarbonyl, (amino)alkylaminocarbonyl,
9 (hydroxy)alkylaminocarbonyl, $-\text{CO}_2\text{H}$, $-(\text{CH}_2)_d\text{-R}_{80}$, or an amino acid radical;
10 d is an integer in the range 0 to 12 inclusive;
11 m is an integer in the range 0 to 6 inclusive; and
12 n is an integer in the range 0 to 6 inclusive.
- 13 100. A compound of formula 99, wherein the compound is complexed with a
14 radionuclide.
- 15 101. The compound of claim 99, wherein the compound is complexed with a
16 radionuclide, wherein the radionuclide is technetium or rhenium.
- 17 102. The compound of claim 99, wherein m is 1.
- 18 103. The compound of claim 99, wherein n is 1.
- 19 104. The compound of claim 99, wherein m is 1; and n is 1.
- 20 105. The compound of claim 99, wherein R is absent.
- 21 106. The compound of claim 99, wherein R_2 is a lipophilic group.
- 22 107. The compound of claim 99, wherein R_2 is an ether, aralkyl, or alkylaryl.
- 23 108. The compound of claim 99, wherein R_3 is a moiety comprising an anionic Lewis
24 base.
- 25 109. The compound of claim 99, wherein R_3 is a carboxylate, thiolate, or phenolate.
- 26 110. The compound of claim 99, wherein R is absent; and R_2 is an ether, aralkyl, or
27 alkylaryl.
- 28 111. The compound of claim 99, wherein R is absent; R_2 is an ether, aralkyl, or alkylaryl;

- 1 and R₃ is a carboxylate, thiolate, or phenolate.
- 2 112. The compound of claim 99, wherein m is 1; n is 1; R is absent; and R₂ is an ether,
3 aralkyl, or alkylaryl.
- 4 113. The compound of claim 99, wherein m is 1; n is 1; R is absent; R₂ is an ether,
5 aralkyl, or alkylaryl; and R₃ is a carboxylate, thiolate, or phenolate.
- 6 114. The compound of claim 99, wherein R₁ is -(CH₂)_d-R₈₀.
- 7 115. The compound of claim 99, wherein m is 1; n is 1; R is absent; R₂ is an ether,
8 aralkyl, or alkylaryl; and R₁ is -(CH₂)_d-R₈₀.
- 9 116. The compound of claim 99, wherein m is 1; n is 1; R is absent; R₂ is an ether,
10 aralkyl, or alkylaryl; R₃ is a carboxylate, thiolate, or phenolate; and R₁ is
11 -(CH₂)_d-R₈₀.
- 12 117. The compound of claim 99, wherein m is 1; n is 1; R is absent; R₂ is an ether,
13 aralkyl, or alkylaryl; and R₁ is -(CH₂)_d-R₈₀; wherein the compound is complexed
14 with a radionuclide.
- 15 118. The compound of claim 99, wherein m is 1; n is 1; R is absent; R₂ is an ether,
16 aralkyl, or alkylaryl; R₃ is a carboxylate, thiolate, or phenolate; and R₁ is
17 -(CH₂)_d-R₈₀; wherein the compound is complexed with a radionuclide.
- 18 119. The compound of claim 99, wherein m is 1; n is 1; R is absent; R₂ is an ether,
19 aralkyl, or alkylaryl; and R₁ is -(CH₂)_d-R₈₀; wherein the compound is complexed
20 with a radionuclide, wherein the radionuclide is technetium or rhenium.
- 21 120. The compound of claim 99, wherein m is 1; n is 1; R is absent; R₂ is an ether,
22 aralkyl, or alkylaryl; R₃ is a carboxylate, thiolate, or phenolate; and R₁ is
23 -(CH₂)_d-R₈₀; wherein the compound is complexed with a radionuclide, wherein the
24 radionuclide is technetium or rhenium.
- 25 121. The compound of claim 99, wherein R₁ is an amino acid radical.
- 26 122. The compound of claim 99, wherein R₁ is an amino acid radical; m is 1; and n is 1.
- 27 123. The compound of claim 99, wherein R₁ is an amino acid radical; m is 1; n is 1; R is
28 absent; and R₂ is an ether, aralkyl, or alkylaryl.
- 29 124. The compound of claim 99, wherein R₁ is an amino acid radical; m is 1; n is 1; R is

- 1 absent; R₂ is an ether, aralkyl, or alkylaryl; and R₃ is a carboxylate, thiolate, or
2 phenolate.
- 3 125. The compound of claim 99, wherein R₁ is an amino acid radical; m is 1; n is 1; R is
4 absent; and R₂ is an ether, aralkyl, or alkylaryl; wherein the compound is complexed
5 with a radionuclide.
- 6 126. The compound of claim 99, wherein R₁ is an amino acid radical; m is 1; n is 1; R is
7 absent; R₂ is an ether, aralkyl, or alkylaryl; and R₃ is a carboxylate, thiolate, or
8 phenolate; wherein the compound is complexed with a radionuclide.
- 9 127. The compound of claim 99, wherein R₁ is an amino acid radical; m is 1; n is 1; R is
10 absent; and R₂ is an ether, aralkyl, or alkylaryl; wherein the compound is complexed
11 with a radionuclide, wherein the radionuclide is technetium or rhenium.
- 12 128. The compound of claim 99, wherein R₁ is an amino acid radical; m is 1; n is 1; R is
13 absent; R₂ is an ether, aralkyl, or alkylaryl; and R₃ is a carboxylate, thiolate, or
14 phenolate; wherein the compound is complexed with a radionuclide, wherein the
15 radionuclide is technetium or rhenium.
- 16 129. The compound of claim 99, wherein the amino acid radical is
17 -CH₂CH₂CH₂CH₂CH(NH₂)CO₂H.
- 18 130. The compound of claim 99, wherein the amino acid radical is
19 -CH(CO₂H)CH₂CH₂CH₂CH₂NH₂.
- 20 131. The compound of claim 99, wherein the amino acid radical is -CH₂CH₂CO₂H.
- 21 132. The compound of claim 99, wherein the amino acid radical is
22 -CH(CO₂H)(CH₂)_xCH(NH₂)CO₂H, wherein x is an integer from 3 to 9 inclusively.
- 23 133. A formulation, comprising a compound according to any of claims 1-132; and a
24 pharmaceutically acceptable excipient.
- 25 134. A method of imaging a region in a patient, comprising the steps of: administering to
26 a patient a diagnostically effective amount of a compound of claim 2, 3, 5, 6, 17, 18,
27 22, 23, 29, 30, 32, 33, 43, 44, 48, 49, 55, 56, 59, 60, 62, 63, 72, 73, 75, 76, 78, 79,
28 92-95, 97, 98, 100, 101, 117-120, or 125-128; and obtaining an image of said region
29 of said patient.

- 1 135. The method of claim 134, wherein said region of said patient is the head or thorax.
- 2 136. A method of preparing a peptide conjugate incorporating a compound of claim 19-
- 3 27, 45-53 or 121-132, wherein the peptide conjugate is prepared using solid phase
- 4 synthetic techniques.